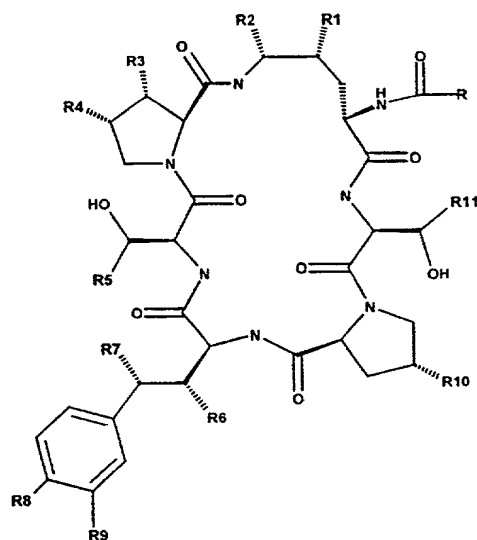


## We claim:

1. An echinocandin/carbohydrate complex comprising a carbohydrate and an echinocandin compound represented by the following structure:



wherein:

R is an alkyl group, an alkenyl group, an alkynyl group, an aryl group, heteroaryl group, or combinations thereof;

R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>6</sub>, R<sub>7</sub>, and R<sub>10</sub> are independently hydroxy or hydrogen;

R<sub>4</sub> is hydrogen, methyl or -CH<sub>2</sub>C(O)NH<sub>2</sub>;

R<sub>5</sub> and R<sub>11</sub> are independently methyl or hydrogen;

R<sub>8</sub> is -OH, -OSO<sub>3</sub>H, -OPO<sub>3</sub>H<sub>2</sub>, -OPO<sub>3</sub>HR<sup>a</sup>, or -OPO<sub>2</sub>HR<sup>a</sup>, where R<sup>a</sup> is hydroxy, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, phenyl, phenoxy, *p*-halophenyl, *p*-halophenoxy, *p*-nitrophenyl, *p*-nitrophenoxy, benzyl, benzyloxy, *p*-halobenzyl, *p*-halobenzyloxy, *p*-nitrobenzyl, or *p*-nitrobenzyloxy;

R<sub>9</sub> is -H, -OH, or -OSO<sub>3</sub>H; and

pharmaceutically acceptable salts or hydrates thereof.

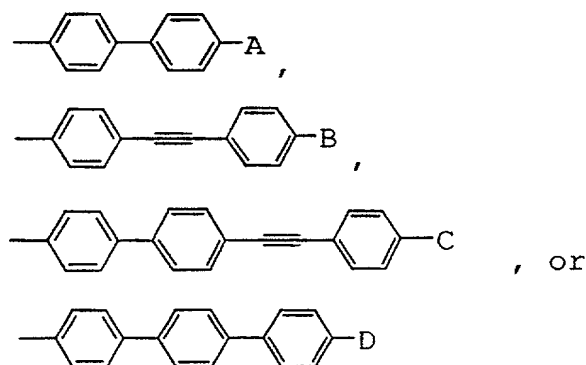
2. The complex of Claim 1 wherein

R<sub>4</sub>, R<sub>5</sub> and R<sub>11</sub> are each methyl;

R<sub>2</sub> and R<sub>7</sub> are independently hydrogen or hydroxy; R<sub>1</sub>, R<sub>3</sub>, R<sub>6</sub> and R<sub>10</sub> are each hydroxy;

R<sub>8</sub> is -OH, -OPO<sub>3</sub>HR<sup>a</sup>, or -OPO<sub>2</sub>HR<sup>a</sup>, where R<sup>a</sup> is methyl;

R is linoleoyl, palmitoyl, stearoyl, myristoyl, 12-methylmyristoyl, 10,12-dimethylmyristoyl, or a group having the general structure:



where A, B, C and D are independently hydrogen,  $C_1$ - $C_{12}$  alkyl,  $C_2$ - $C_{12}$  alkynyl,  $C_1$ - $C_{12}$  alkoxy,  $C_1$ - $C_{12}$  alkylthio, halo, or  $-O-(CH_2)_m-[O-(CH_2)_n]_p-O-(C_1-C_{12} \text{ alkyl})$  or  $-O-(CH_2)_q-X-E$ ; m is 2, 3 or 4;

n is 2, 3 or 4; p is 0 or 1; q is 2, 3 or 4;

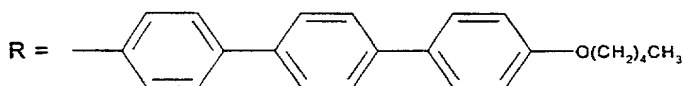
X is pyrrolidino, piperidino or piperazino;

E is hydrogen,  $C_1$ - $C_{12}$  alkyl,  $C_3$ - $C_{12}$  cycloalkyl, benzyl or  $C_3$ - $C_{12}$  cycloalkylmethyl.

3. The complex of claim 2 wherein

$R_2$  and  $R_7$  are each hydroxy;

$R_8$  is hydroxy; and



4. The complex of Claim 1 wherein said carbohydrate is selected from the group

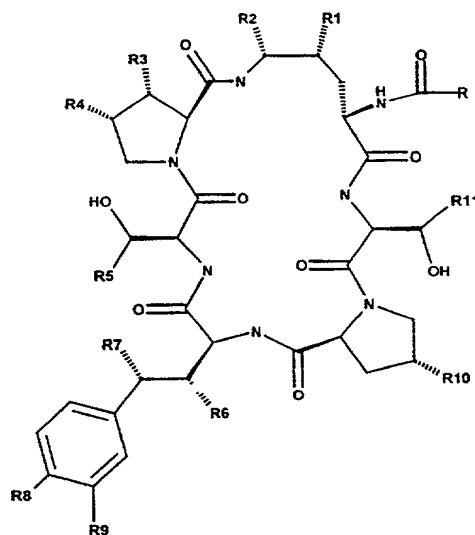
consisting of adonitol, arabinose, arabitol, ascorbic acid, chitin, D-cellubiose, 2-deoxy-D-ribose, dulcitol, (S)-(+)-erythrulose, fructose, fucose, galactose, glucose, inositol, lactose, lactulose, lyxose, maltitol, maltose, maltotriose, mannitol, mannose, melezitose, melibiose, microcrystalline cellulose, palatinose, pentaerythritol, raffinose, rhamnose, ribose, sorbitol, sorbose, starch, sucrose, trehalose, xylitol, xylose and hydrates thereof.

5. The complex of Claim 3 wherein said carbohydrate is selected from the group consisting of L-arabinose, D-arabitol, L-arabitol, 2-deoxy-D-ribose, (S)-(+)-erythrulose, D-fructose, D-(+)-fucose, L-fucose, D-galactose,  $\alpha$ -D-glucose,  $\beta$ -D-glucose, L-glucose, D-lyxose, L-lyxose, maltitol, D-maltose, maltotriose, D-mannose, melezitose, palatinose, D-

raffinose, L-rhamnose, D-ribose, D-sorbitol, D-trehalose, xylitol, L-xylitol and hydrates thereof.

6. The complex of Claim 5 wherein said carbohydrate is selected from the group consisting of L-arabinose, D-arabitol, L-arabitol, 2-deoxy-D-ribose, (S)-(+)-erythrulose, D-fructose, D-(+)-fucose, L-fucose, D-galactose,  $\beta$ -D-glucose, D-lyxose, L-lyxose, D-maltose, maltotriose, melezitose, palatinose, D-raffinose, D-sorbitol, D-trehalose, xylitol, L-xylitol and hydrates thereof.

7. A Echinocandin/carbohydrate complex prepared by the steps of:  
(a) providing an echinocandin compound represented by the following structure



wherein

R is an alkyl group, an alkenyl group, an alkynyl group, an aryl group, heteroaryl group, or combinations thereof,

$R_1$ ,  $R_2$ ,  $R_3$ ,  $R_6$ ,  $R_7$ , and  $R_{10}$  are independently hydroxy or hydrogen,

$R_4$  is hydrogen, methyl or  $-\text{CH}_2\text{C}(\text{O})\text{NH}_2$ ,

$R_5$  and  $R_{11}$  are independently methyl or hydrogen,

$R_8$  is  $-\text{OH}$ ,  $-\text{OSO}_3\text{H}$ ,  $-\text{OPO}_3\text{H}_2$ ,  $-\text{OPO}_3\text{HR}^a$ , or  $-\text{OPO}_2\text{HR}^a$ , where  $R^a$  is hydroxy,  $\text{C}_1$ - $\text{C}_6$  alkyl,  $\text{C}_1$ - $\text{C}_6$  alkoxy, phenyl, phenoxy, *p*-halophenyl, *p*-halophenoxy, *p*-nitrophenyl, *p*-

nitrophenoxy, benzyl, benzyloxy, *p*-halobenzyl, *p*-halobenzyloxy, *p*-nitrobenzyl, or *p*-nitrobenzyloxy;

$R_9$  is -H, -OH, or -OSO<sub>3</sub>H, and

pharmaceutically acceptable salts or hydrates thereof;

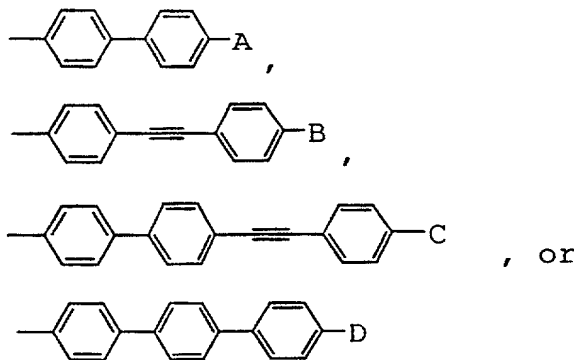
- 5 (b) mixing together said echinocandin compound of step (a) to a carbohydrate in a solvent to form a mixture;

(c) heating said mixture to solubilize said echinocandin compound and to solubilize or disperse said carbohydrate;

- 10 (d) allowing said mixture to cool to produce said echinocandin/carbohydrate complex; and

(e) isolating said echinocandin/carbohydrate complex.

8. The complex of Claim 7 wherein  
 $R_4$ ,  $R_5$  and  $R_{11}$  are each methyl;  
 $R_2$  and  $R_7$  are independently hydrogen or hydroxy;  $R_1$ ,  $R_3$ ,  $R_6$  and  $R_{10}$  are each  
 15 hydroxy;  
 $R_8$  is -OH, -OPO<sub>3</sub>HR<sup>a</sup>, or -OPO<sub>2</sub>HR<sup>a</sup>, where R<sup>a</sup> is methyl;  
 R is linoleoyl, palmitoyl, stearoyl, myristoyl, 12-methylmyristoyl, 10,12-dimethylmyristoyl, or a group having the general structure:



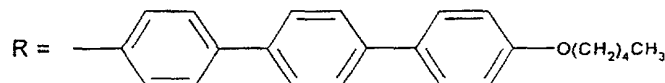
- 20 where A, B, C and D are independently hydrogen, C<sub>1</sub>-C<sub>12</sub> alkyl, C<sub>2</sub>-C<sub>12</sub> alkynyl, C<sub>1</sub>-C<sub>12</sub> alkoxy, C<sub>1</sub>-C<sub>12</sub> alkylthio, halo, or -O-(CH<sub>2</sub>)<sub>m</sub>-[O-(CH<sub>2</sub>)<sub>n</sub>]<sub>p</sub>-O-(C<sub>1</sub>-C<sub>12</sub> alkyl) or -O-(CH<sub>2</sub>)<sub>q</sub>-X-E;  
 m is 2, 3 or 4;

n is 2, 3 or 4; p is 0 or 1; q is 2, 3 or 4;

X is pyrrolidino, piperidino or piperazino;

E is hydrogen, C<sub>1</sub>-C<sub>12</sub> alkyl, C<sub>3</sub>-C<sub>12</sub> cycloalkyl, benzyl or C<sub>3</sub>-C<sub>12</sub> cycloalkylmethyl.

9. The complex of claim 8 wherein  
 5 R<sub>2</sub> and R<sub>7</sub> are each hydroxy;  
 R<sub>8</sub> is hydroxy; and



10. The complex of Claim 7 wherein said carbohydrate is selected from the group consisting of adonitol, arabinose, arabitol, ascorbic acid, chitin, D-cellubiose, 2-deoxy-D-ribose, dulcitol, (S)-(+)-erythrose, fructose, fucose, galactose, glucose, inositol, lactose, lactulose, lyxose, maltitol, maltose, maltotriose, mannitol, mannose, melezitose, melibiose, microcrystalline cellulose, palatinose, pentaerythritol, raffinose, rhamnose, ribose, sorbitol, sorbose, starch, sucrose, trehalose, xylitol, xylose and hydrates thereof.

11. The complex of Claim 9 wherein said carbohydrate is selected from the group consisting of L-arabinose, D-arabitol, L-arabitol, 2-deoxy-D-ribose, (S)-(+)-erythrose, D-fructose, D-(+)-fucose, L-fucose, D-galactose, α-D-glucose, β-D-glucose, L-glucose, D-lyxose, L-lyxose, maltitol, D-maltose, maltotriose, D-mannose, melezitose, palatinose, D-raffinose, L-rhamnose, D-ribose, D-sorbitol, D-trehalose, xylitol, L-xylose and hydrates thereof.

12. The complex of Claim 9 wherein said carbohydrate is selected from the group consisting of L-arabinose, D-arabitol, L-arabitol, 2-deoxy-D-ribose, (S)-(+)-erythrose, D-fructose, D-(+)-fucose, L-fucose, D-galactose, β-D-glucose, D-lyxose, L-lyxose, D-maltose, maltotriose, melezitose, palatinose, D-raffinose, D-sorbitol, D-trehalose, xylitol, L-xylose and hydrates thereof.

13. The complex of Claim 7 wherein said solvent is selected from the group consisting of methanol, ethanol, benzyl alcohol, mixtures of benzyl alcohol with methanol, ethanol, n-propanol, isopropanol, n-butanol, 2-butanol, t-butanol, 2-pentanol, 2-methyl-1-propanol, MEK, acetone, ethyl acetate, toluene, acetonitrile, fluorobenzene, methylene chloride, nitromethane, cyclopentanone and cyclohexanone.

14. The complex of Claim 13 wherein said solvent is selected from the group consisting of methanol, ethanol, benzyl alcohol, and mixtures of benzyl alcohol with methyl ethyl ketone, ethyl acetate, and acetonitrile.
15. The complex of Claim 14 wherein said solvent is methanol.
- 5 16. The complex of Claim 15 wherein said carbohydrate is soluble in said methanol when heated to about 40° to 60°C.
17. The complex of Claim 15 wherein said carbohydrate is highly soluble in said methanol when heated to about 40° to 60°C.
- 10 18. The complex of Claim 15 wherein said carbohydrate is insoluble in said methanol when heated to about 40° to 60°C.
19. The complex of Claim 7 wherein said carbohydrate co-crystallizes with said echinocandin compound.
20. A process for preparing a parenteral formulation comprising the step of (i) mixing the echinocandin/carbohydrate complex of Claim 1 in an aqueous solvent.
- 15 21. The process of Claim 20 further comprising the steps of (ii) sterile filtering and (iii) freeze-drying.
22. A pharmaceutical formulation comprising the echinocandin/carbohydrate complex of Claim 1 and a pharmaceutically acceptable excipient.
- 20 23. The pharmaceutical formulation of Claim 22 wherein said excipient is selected from the group consisting of tonicity agents, stabilizing agents, buffers, bulking agents surfactants, and combinations thereof.
24. A method for treating a fungal infection in a mammal in need thereof, which comprises administering to said mammal the echinocandin/carbohydrate complex of Claim 1.
- 25 25. The method of Claim 24 wherein said fungal infection arises from *Candida albicans* or *Aspergillus fumigatis* activity.
26. A method for treating an antifungal infection in a mammal in need thereof, which comprises contacting a echinocandin/carbohydrate complex of Claim 1 with bodily fluids

of said mammal, wherein said complex collapses to an amorphous form when contacted with said bodily fluids.

27. The method of Claim 26 wherein said fungal infection arises from *Candida albicans* or *Aspergillus fumigatis* activity.